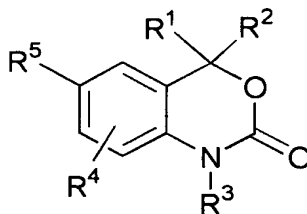


**What is Claimed:**

1. A method of hormone replacement therapy, the method comprising administering to a mammal in need thereof a compound of the formula (I):



I

wherein:

$R^1$  and  $R^2$  are independent substituents selected from the group consisting of H,  $C_1$  to  $C_6$  alkyl, substituted  $C_1$  to  $C_6$  alkyl,  $C_2$  to  $C_6$  alkenyl, substituted  $C_2$  to  $C_6$  alkenyl,  $C_2$  to  $C_6$  alkynyl, substituted  $C_2$  to  $C_6$  alkynyl,  $C_3$  to  $C_8$  cycloalkyl, substituted  $C_3$  to  $C_8$  cycloalkyl, aryl, substituted aryl, heterocyclic, substituted heterocyclic,  $COR^A$ , and  $NR^B COR^A$ ;

or  $R^1$  and  $R^2$  are fused to form:

- a) a carbon-based 3 to 8 membered saturated spirocyclic ring;
- b) a carbon-based 3 to 8 membered spirocyclic ring having in its backbone one or more carbon-carbon double bonds; or
- c) a carbon-based 3 to 8 membered heterocyclic ring having in its backbone one to three heteroatoms selected from the group consisting of O, S and N; the spirocyclic rings of a), b) and c) being optionally substituted by from 1 to 4 groups selected from the group consisting of fluorine,  $C_1$  to  $C_6$  alkyl,  $C_1$  to  $C_6$  alkoxy,  $C_1$  to  $C_6$  thioalkyl,  $CF_3$ , OH, CN,  $NH_2$ ,  $NH(C_1$  to  $C_6$  alkyl), and  $N(C_1$  to  $C_6$  alkyl) $_2$ ;

$R^A$  is H,  $C_1$  to  $C_3$  alkyl, substituted  $C_1$  to  $C_3$  alkyl, aryl, substituted aryl,  $C_1$  to  $C_3$  alkoxy, substituted  $C_1$  to  $C_3$  alkoxy,  $C_1$  to  $C_3$  aminoalkyl, or substituted  $C_1$  to  $C_3$  aminoalkyl;

$R^B$  is H,  $C_1$  to  $C_3$  alkyl, or substituted  $C_1$  to  $C_3$  alkyl;

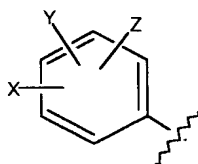
$R^3$  is H, OH,  $NH_2$ ,  $C_1$  to  $C_6$  alkyl, substituted  $C_1$  to  $C_6$  alkyl,  $C_3$  to  $C_6$  alkenyl, substituted  $C_3$  to  $C_6$  alkenyl, alkynyl, substituted alkynyl, or  $COR^C$ ;

$R^C$  is H,  $C_1$  to  $C_4$  alkyl, substituted  $C_1$  to  $C_4$  alkyl, aryl, substituted aryl,  $C_1$  to  $C_4$  alkoxy, substituted  $C_1$  to  $C_4$  alkoxy,  $C_1$  to  $C_4$  aminoalkyl, or substituted  $C_1$  to  $C_4$  aminoalkyl;

$R^4$  is H, halogen, CN,  $NO_2$ ,  $C_1$  to  $C_6$  alkyl, substituted  $C_1$  to  $C_6$  alkyl, alkynyl, substituted alkynyl,  $C_1$  to  $C_6$  alkoxy, substituted  $C_1$  to  $C_6$  alkoxy, amino,  $C_1$  to  $C_6$  aminoalkyl, or substituted  $C_1$  to  $C_6$  aminoalkyl;

$R^5$  is selected from the group consisting of (i) and (ii):

(i) a substituted benzene ring having the substituents X, Y and Z as shown below:



wherein:

X is selected from the group consisting of H, halogen, CN,  $C_1$  to  $C_3$  alkyl, substituted  $C_1$  to  $C_3$  alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,  $C_1$  to  $C_3$  alkoxy, substituted  $C_1$  to  $C_3$  alkoxy,  $C_1$  to  $C_3$  thioalkoxy, substituted  $C_1$  to  $C_3$  thioalkoxy, amino,  $C_1$  to  $C_3$  aminoalkyl, substituted  $C_1$  to  $C_3$  aminoalkyl,  $NO_2$ ,  $C_1$  to  $C_3$  perfluoroalkyl, 5 or 6 membered heterocyclic ring containing in its backbone 1 to 3 heteroatoms selected from the group consisting of O, S, and N,  $COR^D$ ,  $OCOR^D$ , and  $NR^E COR^D$ ;

$R^D$  is H,  $C_1$  to  $C_3$  alkyl, substituted  $C_1$  to  $C_3$  alkyl, aryl, substituted aryl,  $C_1$  to  $C_3$  alkoxy, substituted  $C_1$  to  $C_3$  alkoxy,  $C_1$  to  $C_3$  aminoalkyl, or substituted  $C_1$  to  $C_3$  aminoalkyl;

$R^E$  is H,  $C_1$  to  $C_3$  alkyl, or substituted  $C_1$  to  $C_3$  alkyl;

Y and Z are independent substituents selected from the group consisting of H, halogen, CN,  $NO_2$ , amino, aminoalkyl,  $C_1$  to  $C_3$  alkoxy,  $C_1$  to  $C_4$  alkyl, and  $C_1$  to  $C_3$  thioalkoxy;

wherein X, Y, and Z are not all H; and

(ii) a five or six membered ring having in its backbone 1, 2, or 3 heteroatoms selected from the group consisting of O, S, SO, SO<sub>2</sub> and NR<sup>6</sup> and containing one or two independent substituents selected from the group consisting of H, halogen, CN, NO<sub>2</sub>, amino, C<sub>1</sub> to C<sub>4</sub> alkyl, C<sub>1</sub> to C<sub>3</sub> alkoxy, C<sub>1</sub> to C<sub>3</sub> aminoalkyl, COR<sup>F</sup>, and NR<sup>G</sup>COR<sup>F</sup>;

R<sup>F</sup> is H, C<sub>1</sub> to C<sub>3</sub> alkyl, substituted C<sub>1</sub> to C<sub>3</sub> alkyl, aryl, substituted aryl, C<sub>1</sub> to C<sub>3</sub> alkoxy, substituted C<sub>1</sub> to C<sub>3</sub> alkoxy, C<sub>1</sub> to C<sub>3</sub> aminoalkyl, or substituted C<sub>1</sub> to C<sub>3</sub> aminoalkyl;

R<sup>G</sup> is H, C<sub>1</sub> to C<sub>3</sub> alkyl, or substituted C<sub>1</sub> to C<sub>3</sub> alkyl;

R<sup>6</sup> is H, C<sub>1</sub> to C<sub>3</sub> alkyl, or C<sub>1</sub> to C<sub>4</sub> CO<sub>2</sub>alkyl;

or pharmaceutically acceptable salt thereof.

2. The method according to Claim 1, wherein:

R<sup>1</sup> is H, C<sub>1</sub> to C<sub>6</sub> alkyl, substituted C<sub>1</sub> to C<sub>6</sub> alkyl, C<sub>3</sub> to C<sub>8</sub> cycloalkyl, substituted C<sub>3</sub> to C<sub>8</sub> cycloalkyl, aryl, substituted aryl, heterocyclic, substituted heterocyclic, COR<sup>A</sup>, or NR<sup>B</sup>COR<sup>A</sup>;

R<sup>2</sup> is H, C<sub>1</sub> to C<sub>6</sub> alkyl, substituted C<sub>1</sub> to C<sub>6</sub> alkyl, C<sub>2</sub> to C<sub>6</sub> alkenyl, substituted C<sub>2</sub> to C<sub>6</sub> alkenyl, C<sub>3</sub> to C<sub>8</sub> cycloalkyl, substituted C<sub>3</sub> to C<sub>8</sub> cycloalkyl, aryl, substituted aryl, heterocyclic, substituted heterocyclic, COR<sup>A</sup>, or NR<sup>B</sup>COR<sup>A</sup>;

R<sup>5</sup> is (i) or (ii):

(i) the substituted benzene ring, wherein:

X is selected from the group consisting of halogen, CN, C<sub>1</sub> to C<sub>3</sub> alkyl, substituted C<sub>1</sub> to C<sub>3</sub> alkyl, C<sub>1</sub> to C<sub>3</sub> alkoxy, substituted C<sub>1</sub> to C<sub>3</sub> alkoxy, C<sub>1</sub> to C<sub>3</sub> thioalkoxy, substituted C<sub>1</sub> to C<sub>3</sub> thioalkoxy, amino, C<sub>1</sub> to C<sub>3</sub> aminoalkyl, substituted C<sub>1</sub> to C<sub>3</sub> aminoalkyl, NO<sub>2</sub>, C<sub>1</sub> to C<sub>3</sub> perfluoroalkyl, 5 membered heterocyclic ring containing in its backbone 1 to 3 heteroatoms selected from the group consisting of N, O, and S, COR<sup>D</sup>, OCOR<sup>D</sup>, and NR<sup>E</sup>COR<sup>D</sup>;

Y and Z are independent substituents selected from the group consisting of H, halogen, CN, NO<sub>2</sub>, C<sub>1</sub> to C<sub>3</sub> alkoxy, C<sub>1</sub> to C<sub>3</sub> alkyl, and C<sub>1</sub> to C<sub>3</sub> thioalkoxy; or

(ii) the five or six membered ring, wherein said one or two independent substituents selected from the group consisting of H, halogen, CN, NO<sub>2</sub>, amino, C<sub>1</sub> to C<sub>3</sub> alkyl, and C<sub>1</sub> to C<sub>3</sub> alkoxy;

R<sup>6</sup> is H or C<sub>1</sub> to C<sub>3</sub> alkyl.

3. The method according to Claim 1, wherein:

R<sup>1</sup> is H, C<sub>1</sub> to C<sub>6</sub> alkyl, substituted C<sub>1</sub> to C<sub>6</sub> alkyl, C<sub>3</sub> to C<sub>8</sub> cycloalkyl, substituted C<sub>3</sub> to C<sub>8</sub> cycloalkyl, aryl, substituted aryl, heterocyclic, substituted heterocyclic, COR<sup>A</sup>, or NR<sup>B</sup>COR<sup>A</sup>;

R<sup>4</sup> is H, halogen, CN, NO<sub>2</sub>, C<sub>1</sub> to C<sub>6</sub> alkyl, substituted C<sub>1</sub> to C<sub>6</sub> alkyl, C<sub>1</sub> to C<sub>6</sub> alkoxy, substituted C<sub>1</sub> to C<sub>6</sub> alkoxy, amino, C<sub>1</sub> to C<sub>6</sub> aminoalkyl, or substituted C<sub>1</sub> to C<sub>6</sub> aminoalkyl;

R<sup>5</sup> is (iii) or (iv):

(iii) the substituted benzene ring, wherein

X is selected from the group consisting of halogen, CN, C<sub>1</sub> to C<sub>3</sub> alkyl, substituted C<sub>1</sub> to C<sub>3</sub> alkyl, C<sub>1</sub> to C<sub>3</sub> alkoxy, substituted C<sub>1</sub> to C<sub>3</sub> alkoxy, C<sub>1</sub> to C<sub>3</sub> thioalkoxy, substituted C<sub>1</sub> to C<sub>3</sub> thioalkoxy, amino, C<sub>1</sub> to C<sub>3</sub> aminoalkyl, substituted C<sub>1</sub> to C<sub>3</sub> aminoalkyl, NO<sub>2</sub>, C<sub>1</sub> to C<sub>3</sub> perfluoroalkyl, 5 membered heterocyclic ring containing in its backbone 1 to 3 heteroatoms selected from the group consisting of N, O, and S, COR<sup>D</sup>, OCOR<sup>D</sup>, and NR<sup>E</sup>COR<sup>D</sup>;

Y and Z are independent substituents selected from the group consisting of H, halogen, CN, NO<sub>2</sub>, C<sub>1</sub> to C<sub>3</sub> alkoxy, C<sub>1</sub> to C<sub>3</sub> alkyl, and C<sub>1</sub> to C<sub>3</sub> thioalkoxy; or

(iv) the five or six membered ring, wherein said ring contains one or two independent substituents selected from the group consisting of H, halogen, CN, NO<sub>2</sub>, amino, C<sub>1</sub> to C<sub>3</sub> alkyl, and C<sub>1</sub> to C<sub>3</sub> alkoxy;

R<sup>6</sup> is H or C<sub>1</sub> to C<sub>3</sub> alkyl.

4. The method according to Claim 1, wherein:

$R^1 = R^2$  and are selected from the group consisting of  $C_1$  to  $C_3$  alkyl and substituted  $C_1$  to  $C_3$  alkyl, or  $R^1$  and  $R^2$  are fused to form the carbon-based 3 to 6 membered saturated spirocyclic ring;

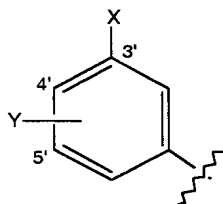
$R^3$  is H, OH,  $NH_2$ ,  $C_1$  to  $C_6$  alkyl, substituted  $C_1$  to  $C_6$  alkyl, or  $COR^C$ ;

$R^C$  is H,  $C_1$  to  $C_4$  alkyl, or  $C_1$  to  $C_4$  alkoxy;

$R^4$  is H, halogen, CN,  $NO_2$ ,  $C_1$  to  $C_3$  alkyl, substituted  $C_1$  to  $C_3$  alkyl,  $C_1$  to  $C_3$  alkoxy, or substituted  $C_1$  to  $C_3$  alkoxy;

$R^5$  is (v), (vi), or (vii):

(v) the substituted benzene ring of the structure:

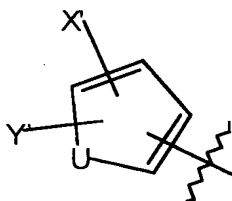


wherein:

X is halogen, CN,  $C_1$  to  $C_3$  alkoxy,  $C_1$  to  $C_3$  alkyl,  $NO_2$ ,  $C_1$  to  $C_3$  perfluoroalkyl, 5 membered heterocyclic ring containing in its backbone 1 to 3 heteroatoms selected from the group consisting of N, O, and S, or  $C_1$  to  $C_3$  thioalkoxy;

Y is H, halogen, CN,  $NO_2$ ,  $C_1$  to  $C_3$  alkoxy,  $C_1$  to  $C_4$  alkyl, or  $C_1$  to  $C_3$  thioalkoxy;

(vi) the five membered ring having the structure:



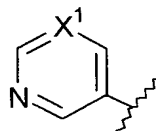
wherein:

U is O, S, or  $NR^6$ ;

$X^2$  is selected from the group consisting of halogen, CN,  $NO_2$ ,  $C_1$  to  $C_3$  alkyl, and  $C_1$  to  $C_3$  alkoxy;

$Y^2$  is selected from the group consisting of H and  $C_1$  to  $C_4$  alkyl; or

(vii) the six membered ring having the structure:

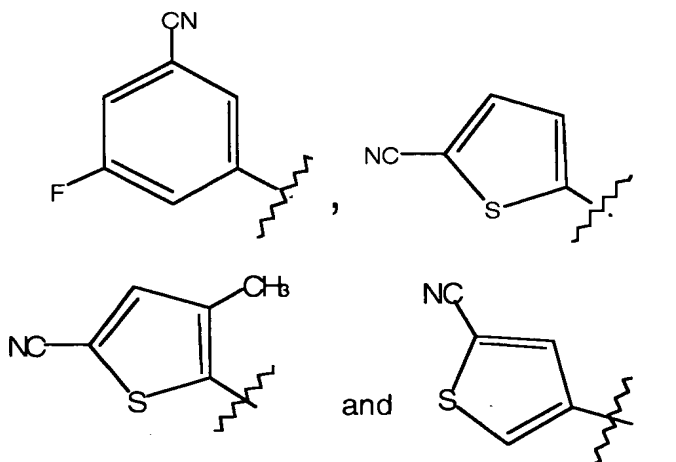


wherein:

$X^1$  is N or  $CX^2$ ;

$X^2$  is halogen, CN,  $C_1$  to  $C_3$  alkoxy, or  $NO_2$ .

5. The method according to Claim 4, wherein  $R^5$  is selected from the group consisting of:



6. The method according to Claim 1, wherein:

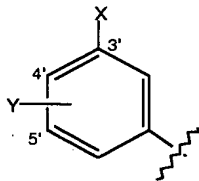
$R^1$  and  $R^2$  are  $CH_3$  or  $R^1$  and  $R^2$  are fused to form the carbon-based 6 membered saturated spirocyclic ring;

$R^3$  is H, OH,  $NH_2$ ,  $CH_3$ , substituted  $CH_3$ , or  $COR^C$ ;

$R^C$  is H,  $C_1$  to  $C_3$  alkyl, or  $C_1$  to  $C_4$  alkoxy;

$R^4$  is H, halogen,  $NO_2$ , CN, or  $C_1$  to  $C_3$  alkyl;

$R^5$  is the substituted benzene ring having the formula:



wherein:

X is selected from the group consisting of halogen, CN, methoxy,  $NO_2$ , and the five-membered heterocyclic ring, wherein said ring is 2-thiazole;

Y is H or halogen, wherein said halogen is F.

7. The method according to Claim 1, wherein:

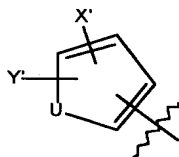
$R^1$  and  $R^2$  are  $CH_3$  or  $R^1$  and  $R^2$  are fused to form the carbon-based 6 membered saturated spirocyclic ring;

$R^3$  is H, OH,  $NH_2$ ,  $CH_3$ , substituted  $CH_3$ , or  $COR^C$ ;

$R^C$  is H,  $C_1$  to  $C_3$  alkyl, or  $C_1$  to  $C_4$  alkoxy;

$R^4$  is H, halogen,  $NO_2$ , CN, or  $C_1$  to  $C_3$  alkyl;

$R^5$  is the five membered ring having the structure:



wherein:

U is O, S, or NH;

$X'$  is halogen, CN, or  $NO_2$ , provided that when U is NH, then  $X'$  is not CN;

$Y'$  is H or  $C_1$  to  $C_4$  alkyl.

8. The method according to Claim 1, wherein said compound is selected from the group consisting of:

- a) 6-(3-Chlorophenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one;
  - b) 6-(3-Methoxy-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one;
  - c) 6-(2-Chloro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
  - d) 6-(4-Chloro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one;
  - e) 6-(3-Chloro-phenyl)-4-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
  - f) 6-(3-Chloro-phenyl)-4-ethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
- and
- g) 6-(3-Chloro-phenyl)-4-phenyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
- or a pharmaceutically acceptable salt thereof.

9. The method according to Claim 1, wherein said compound is selected from the group consisting of:

- a) 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-benzonitrile;
- b) 4,4-Dimethyl-6-(3-nitrophenyl)-1,4-dihydrobenzo[d][1,3]oxazin-2-one;
- c) 6-(3-Bromo-5-fluorophenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one;
- d) 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-fluorobenzonitrile;
- e) 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-nicotinonitrile;
- f) 4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-2-carbonitrile;
- g) 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-2-carbonitrile;



- h) 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-4-methyl-thiophene-2-carbonitrile;
- i) 4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-furan-2-carbonitrile; and
- j) 4,4-Diethyl-6-(3-nitrophenyl)-1,4-dihydrobenzo[d][1,3]oxazin-2-one; or a pharmaceutically acceptable salt thereof.

10. The method according to Claim 1, wherein said compound is selected from the group consisting of:

- a) 6-(3-Chlorophenyl)-4,4-diethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one;
  - b) 6-(3-Chlorophenyl)-spiro[4H-3,1-benzoxazine-4,1'-cyclohexane]-2-(1H)-one;
  - c) 6-(3-Chlorophenyl)-spiro-[4H-3,1-benzoxazine-4,1'-cyclopentane]-2(1H)-one;
  - d) 6-(3-Nitrophenyl)-spiro[4H-3,1-benzoxazine-4,1'-cyclohexan]-2(1H)-one;
  - e) 4-Allyl-6-(3-chlorophenyl)-4-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
  - f) 6-(3-Chlorophenyl)-4-methyl-4-propyn-1-yl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; and
  - g) 6-(3-Chlorophenyl)-4-ethynyl-4-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
- or a pharmaceutically acceptable salt thereof.

11. The method according to Claim 1, wherein said compound is selected from the group of:

- a) 6-(3-Chlorophenyl)-4-methyl-4-phenyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
  - b) 4-Benzyl-6-(3-chloro-phenyl)-4-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
  - c) 6-(3-Chloro-phenyl)-4-cyclopropyl-4-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
  - d) 6-(3-Chloro-phenyl)-4-cyclopropyl-4-propyn-1-yl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
  - e) 6-(3-Chloro-phenyl)-4,4-dicyclopropyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
  - f) 6-(3-Chloro-phenyl)-4,4-dipropyn-1-yl-1,4-dihydrobenzo[d][1,3]oxazin-2-one;
  - g) 6-(3-Bromo-5-fluorophenyl)-1,4,4-trimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; and
  - h) 6-(3-Methoxyphenyl)-4-methyl-4-trifluoromethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
- or a pharmaceutically acceptable salt thereof.

12. The method according to Claim 1, wherein said compound is selected from the group consisting of:

- a) 6-(3-Acetyl-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one;
- b) 6-(3-Benzoyl-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one;
- c) 4-(4,4-Dicyclopropyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-2-carbonitrile;
- d) 6-(3-Bromo-5-fluoro-phenyl)-4,4-dicyclopropyl-1,4-dihydrobenzo-[d][1,3]oxazin-2-one;

- e) 3-(4,4-Dicyclopropyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-fluoro-benzonitrile;
  - f) 6-(3-Bromo-5-methyl-phenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one;
  - g) 6-(3-Bromo-5-trifluoromethoxy-phenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]-oxazin-2-one; and
  - h) 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-methyl-benzonitrile;
- or a pharmaceutically acceptable salt thereof.

13. The method according to Claim 1, wherein said compound is selected from the group consisting of:

- a) 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-trifluoromethoxy-benzonitrile;
- b) 6-(3,5-difluoro-phenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one;
- c) 6-(3,5-dichloro-phenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one;
- d) 6-(3,5-Bis-trifluoromethyl-phenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one;
- e) 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-methoxy-benzonitrile;
- f) 6-(3-Fluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one;
- g) 6-(3-Chloro-4-fluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
- h) 3-(1-Diethoxymethyl-4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-fluoro-benzonitrile; and
- i) 3-Fluoro-5-(1-methoxymethyl-4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-benzonitrile;

or a pharmaceutically acceptable salt thereof.

14. The method according to Claim 1, wherein said compound is selected from the group consisting of:

- a) Phosphoric acid 6-(3-cyano-5-fluoro-phenyl)-4,4-dimethyl-4H-benzo[d][1,3]oxazin-2-yl ester diethyl ether;
  - b) 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-4-fluoro-benzonitrile;
  - c) 6-(3-Chloro-4-fluoro-phenyl)-8-fluoro-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]-oxazin-2-one;
  - d) 6-(3-Bromo-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one;
  - e) 6-(3-Ethynyl-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one;
  - f) 3-[3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-phenyl]-propynenitrile;
  - g) 6-(3-Fluoro-5-nitro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; and
  - h) 6-(3-Chloro-5-fluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
- or a pharmaceutically acceptable salt thereof.

15. The method according to Claim 1, wherein said compound is selected from the group consisting of:

- a) 3-Chloro-5-(4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-benzonitrile;
- b) 6-(3,5-Dinitro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
- c) 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-isophthalonitrile;

- d) 4,4-Dimethyl-6-(3-thiazol-2-yl-phenyl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
  - e) 6-(3-Fluoro-5-methoxy-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
  - f) 6-(3-Fluoro-5-trifluoromethyl-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
  - g) 6-(5-Bromo-pyridin-3-yl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
  - h) 6-(3-Cyano-5-fluoro-phenyl)-4,4-dimethyl-2-oxo-4H-benzo[d][1,3]oxazine-1-carboxylic acid tert-butyl ester; and
  - i) 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-2-fluoro-benzonitrile;
- or a pharmaceutically acceptable salt thereof.

16. The method according to Claim 1, wherein said compound is selected from the group consisting of:

- a) 4-(8-Fluoro-4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-2-carbonitrile;
- b) 3-Fluoro-5-(8-fluoro-4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-benzonitrile;
- c) 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-3-carbonitrile;
- d) 2-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-3-carbonitrile;
- e) 6-(1,2,4-thiadiazol-3-yl-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
- f) 6-(3-Fluoro-5-thiophen-3-yl-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
- g) 2-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-pyrrole-1-carboxylic acid tert-butyl ester;

h) 2-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-nitro-pyrrole-1-carboxylic acid tert-butyl ester;

i) 4,4-Dimethyl-6-(5-nitro-1H-pyrrol-2-yl)-1,4-dihydrobenzo[d][1,3]oxazin-2-one; and

j) 4,4-Dimethyl-6-(1H-pyrrol-2-yl)-1,4-dihydro-benzo[d][1,3]-oxazin-2-one;

or a pharmaceutically acceptable salt thereof.

17. The method according to Claim 1, wherein said compound is selected from the group consisting of:

a) 4,4-Dimethyl-6-(1-methyl-1H-pyrrol-2-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one;

b) 4,4-Dimethyl-6-(1-methyl-5-nitro-1H-pyrrol-2-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one;

c) 3-(1,2-Dihydro-2-oxospiro[4H-3,1-benzoxazine-4,1-cyclohexan]-6-yl)-benzonitrile;

d) 3-(1,2-Dihydro-2-oxospiro[4H-3,1-benzoxazine-4,1-cyclohexan]-6-yl)-5-fluorobenzonitrile;

e) 4-(1,2-Dihydro-2-oxospiro[4H-3,1-benzoxazine-4,1-cyclohexan]-6-yl)-2-thiophenecarbonitrile;

f) 5-(1,2-Dihydro-2-oxospiro[4H-3,1-benzoxazine-4,1-cyclohexan]-6-yl)-2-thiophenecarbonitrile;

g) 5-(1,2-Dihydro-2-oxospiro[4H-3,1-benzoxazine-4,1-cyclohexan]-6-yl)-4-methyl-2-thiophenecarbonitrile;

h) 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-4-ethyl-thiophene-2-carbonitrile; and

i) 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-4-n-propyl-thiophene-2-carbonitrile;

or a pharmaceutically acceptable salt thereof.

18. The method according to Claim 1, wherein said compound is selected from the group consisting of:

- a) 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-4-*n*-butyl-thiophene-2-carbonitrile;
  - b) 6-(4-Cyano-3-fluoro-phenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]-oxazin-2-one;
  - c) 6-(4-Fluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one;
  - d) 6-(3,4-Difluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
  - e) 6-(2-Fluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one;
  - f) 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)phenylacetonitrile;
  - g) 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-furan-2-carbonitrile; and
  - h) 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-2-fluoro-benzonitrile;
- or a pharmaceutically acceptable salt thereof.

19. The method according to claim 1, wherein said compound is selected from the group consisting of:

- a) 6-(3-Methoxyphenyl)spiro[4H-3,1-benzoxazine-4,1-cyclobutan]-2(1H)-one;
- b) 8-Bromo-6-(3-chloro-4-fluorophenyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazin-2-one;
- c) 3-(8-Bromo-4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile;
- d) 3-(8-Bromo-4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile;

- e) 5-(8-Bromo-4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-2-fluorobenzonitrile;
- f) 6-(3-Bromophenyl)-1,4,4-trimethyl-1,4-dihydro-2H-3,1-benzoxazin-2-one;
- g) 6-(3-Fluorophenyl)-4-methyl-1,4-dihydro-2H-3,1-benzoxazin-2-one;
- h) 3-(4,4-Dimethyl-8-methoxy-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile;
- i) 3-(4,4-Dimethyl-8-hydroxy-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile;
- j) 6-(2,3-Difluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
- k) 3-(1-Ethyl-4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-fluoro-benzonitrile;
- l) [6-(4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)pyridin-2-yl]acetonitrile;
- m) 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)-5-fluoro-phenylacetonitrile;
- n) 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)-4-fluoro-phenylacetonitrile;
- o) 4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-2-fluorophenylacetonitrile;
- p) 2-(4,4-Dimethyl 2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)phenylacetonitrile;
- q) 6-(3-Fluoro-4-methoxy-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
- r) 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)phenylacetonitrile;



- s) 6-(6-Amino-pyridin-3-yl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazine-2-one;
  - t) 6-(5-Diethoxymethyl-furan-3-yl) -4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; and
  - u) 4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-furan-2-carbaldehyde;
- or a pharmaceutically acceptable salt thereof.

20. The method according to claim 1, wherein R<sup>5</sup> is said five-membered ring b).

21. The method according to claim 20, wherein said five-membered ring b) is a thiophene group.

22. The method according to claim 20, wherein said five-membered ring b) is a furan group.

23. The method according to claim 20, wherein said five-membered ring b) is a pyrrole group.

24. The method according to claim 20, wherein said five-membered ring b) is a thiazole group.

25. The method according to claim 20, wherein said five-membered ring b) is an oxazole group.

26. The method according to claim 20, wherein said five-membered ring b) is an imidazole group.

27. The method according to claim 1, wherein R<sup>5</sup> is said six-membered ring b).
28. The method according to claim 27, wherein said six-membered ring b) is a pyridine group.
29. The method according to claim 1, wherein R<sup>5</sup> is said substituted benzene ring a).
30. The method according to claim 29, wherein said substituted benzene ring a) is an optionally substituted phenyl group.
31. The method according to claim 30, wherein said substituted phenyl group is a 3-chloro-4-fluoro-phenyl group.
32. The method according to claim 30, wherein said substituted phenyl group is a 3,5-dichloro-phenyl group.
33. The method according to claim 30, wherein said substituted phenyl group is a 3-cyano-4-fluoro-phenyl group.
34. The method according to claim 30, wherein said substituted phenyl group is a 3,4-difluoro-phenyl group.
35. The method according to claim 30, wherein said substituted phenyl group is a 3-cyano-5-chloro-phenyl group.
36. The method according to claim 30, wherein said substituted phenyl group is a 3-trifluoromethyl-5-fluoro-phenyl group.

37. The method according to claim 30, wherein said substituted phenyl group is a 2-fluoro-3-cyano-phenyl group.

38. The method according to claim 30, wherein said substituted phenyl group is a 2-fluoro-phenyl group.

39. The method according to claim 30, wherein said substituted phenyl group is a 4-cyano-3-furanyl-phenyl group.

40. The method according to claim 30, wherein said substituted phenyl group is a 3,4-dichloro-phenyl group.

41. The method according to claim 30, wherein said substituted phenyl group is a 3-fluoro-4-chloro-phenyl group.

42. The method according to claim 30, wherein said substituted phenyl group is a 3-bromo-4-fluoro-phenyl group.

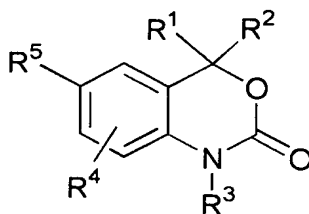
43. The method according to claim 30, wherein said substituted phenyl group is a 3,5-dibromo-phenyl group.

44. The method according to claim 1, wherein  $R^1$  and  $R^2$  are  $C_1$  to  $C_6$  alkyl.

45. A method of hormone replacement therapy, the method comprising administering to a mammal in need thereof a compound selected from the group consisting of 4,4-Dimethyl-6-[3-(1H-tetrazol-5-yl)-phenyl]-1,4-dihydrobenzo[d][1,3]oxazin-2-one;  
4,4-Dimethyl-6-(3-trimethylsilanylethynyl-phenyl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(5-Bromo-1-oxy-pyridin-3-yl)-4,4-dimethyl-1,4-dihydro-

benzo[d][1,3]oxazin-2-one; N-[4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-2-fluoro-phenyl]-acetamide; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl) benzenesulfonamide; 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)-thiophene-2-sulfonamide; and 4-(1,4-Dihydro-4,4-dimethyl-2-oxo-2H-3,1-benzoxazin-6-yl)-2-furancarboxaldehyde oxime; or pharmaceutically acceptable salt thereof.

46. A method of hormone replacement therapy, the method comprising administering to a mammal in need thereof a compound of the formula (I):



I

wherein:

R<sup>1</sup> and R<sup>2</sup> are independent substituents selected from the group consisting of H, C<sub>1</sub> to C<sub>6</sub> alkyl, substituted C<sub>1</sub> to C<sub>6</sub> alkyl, C<sub>2</sub> to C<sub>6</sub> alkenyl, substituted C<sub>2</sub> to C<sub>6</sub> alkenyl, C<sub>2</sub> to C<sub>6</sub> alkynyl, substituted C<sub>2</sub> to C<sub>6</sub> alkynyl, C<sub>3</sub> to C<sub>8</sub> cycloalkyl, substituted C<sub>3</sub> to C<sub>8</sub> cycloalkyl, aryl, substituted aryl, heterocyclic, substituted heterocyclic, COR<sup>A</sup>, and NR<sup>B</sup>COR<sup>A</sup>;

or R<sup>1</sup> and R<sup>2</sup> are fused to form:

- a) a carbon-based 3 to 8 membered saturated spirocyclic ring;
  - b) a carbon-based 3 to 8 membered spirocyclic ring having in its backbone one or more carbon-carbon double bonds; or
  - c) a carbon-based 3 to 8 membered heterocyclic ring having in its backbone one to three heteroatoms selected from the group consisting of O, S and N;
- the spirocyclic rings of a), b) and c) being optionally substituted by from 1 to 4 groups selected from the group consisting of fluorine, C<sub>1</sub> to C<sub>6</sub> alkyl, C<sub>1</sub> to C<sub>6</sub>

alkoxy, C<sub>1</sub> to C<sub>6</sub> thioalkyl, CF<sub>3</sub>, OH, CN, NH<sub>2</sub>, NH(C<sub>1</sub> to C<sub>6</sub> alkyl), and N(C<sub>1</sub> to C<sub>6</sub> alkyl)<sub>2</sub>;

R<sup>A</sup> is H, C<sub>1</sub> to C<sub>3</sub> alkyl, substituted C<sub>1</sub> to C<sub>3</sub> alkyl, aryl, substituted aryl, C<sub>1</sub> to C<sub>3</sub> alkoxy, substituted C<sub>1</sub> to C<sub>3</sub> alkoxy, C<sub>1</sub> to C<sub>3</sub> aminoalkyl, or substituted C<sub>1</sub> to C<sub>3</sub> aminoalkyl;

R<sup>B</sup> is H, C<sub>1</sub> to C<sub>3</sub> alkyl, or substituted C<sub>1</sub> to C<sub>3</sub> alkyl;

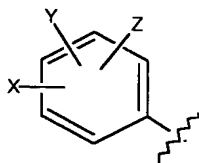
R<sup>3</sup> is H, OH, NH<sub>2</sub>, C<sub>1</sub> to C<sub>6</sub> alkyl, substituted C<sub>1</sub> to C<sub>6</sub> alkyl, C<sub>3</sub> to C<sub>6</sub> alkenyl, substituted C<sub>3</sub> to C<sub>6</sub> alkenyl, alkynyl, substituted alkynyl, or COR<sup>C</sup>;

R<sup>C</sup> is H, C<sub>1</sub> to C<sub>3</sub> alkyl, substituted C<sub>1</sub> to C<sub>3</sub> alkyl, aryl, substituted aryl, C<sub>1</sub> to C<sub>3</sub> alkoxy, substituted C<sub>1</sub> to C<sub>3</sub> alkoxy, C<sub>1</sub> to C<sub>3</sub> aminoalkyl, or substituted C<sub>1</sub> to C<sub>3</sub> aminoalkyl;

R<sup>4</sup> is H, halogen, CN, NO<sub>2</sub>, C<sub>1</sub> to C<sub>6</sub> alkyl, substituted C<sub>1</sub> to C<sub>6</sub> alkyl, alkynyl, substituted alkynyl, C<sub>1</sub> to C<sub>6</sub> alkoxy, substituted C<sub>1</sub> to C<sub>6</sub> alkoxy, amino, C<sub>1</sub> to C<sub>6</sub> aminoalkyl, or substituted C<sub>1</sub> to C<sub>6</sub> aminoalkyl;

R<sup>5</sup> is selected from the group consisting of (i) and (ii):

(i) a substituted benzene ring having the substituents X, Y and Z as shown below:



wherein:

X is selected from the group consisting of H, halogen, CN, C<sub>1</sub> to C<sub>3</sub> alkyl, substituted C<sub>1</sub> to C<sub>3</sub> alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, C<sub>1</sub> to C<sub>3</sub> alkoxy, substituted C<sub>1</sub> to C<sub>3</sub> alkoxy, C<sub>1</sub> to C<sub>3</sub> thioalkoxy, substituted C<sub>1</sub> to C<sub>3</sub> thioalkoxy, amino, C<sub>1</sub> to C<sub>3</sub> aminoalkyl, substituted C<sub>1</sub> to C<sub>3</sub> aminoalkyl, NO<sub>2</sub>, C<sub>1</sub> to C<sub>3</sub> perfluoroalkyl, 5 or 6 membered heterocyclic ring containing in its backbone 1 to 3 heteroatoms selected from the group consisting of O, S, and N, COR<sup>D</sup>, OCOR<sup>D</sup>, and NR<sup>E</sup>COR<sup>D</sup>;

$R^D$  is H,  $C_1$  to  $C_3$  alkyl, substituted  $C_1$  to  $C_3$  alkyl, aryl, substituted aryl,  $C_1$  to  $C_3$  alkoxy, substituted  $C_1$  to  $C_3$  alkoxy,  $C_1$  to  $C_3$  aminoalkyl, or substituted  $C_1$  to  $C_3$  aminoalkyl;

$R^E$  is H,  $C_1$  to  $C_3$  alkyl, or substituted  $C_1$  to  $C_3$  alkyl;

Y and Z are independent substituents selected from the group consisting of H, halogen, CN,  $NO_2$ , amino, aminoalkyl,  $C_1$  to  $C_3$  alkoxy,  $C_1$  to  $C_3$  alkyl, and  $C_1$  to  $C_3$  thioalkoxy;

wherein X, Y, and Z are not all H; and

(ii) a five or six membered ring having in its backbone 1, 2, or 3 heteroatoms selected from the group consisting of O, S, SO,  $SO_2$  and  $NR^6$  and containing one or two independent substituents selected from the group consisting of H, halogen, CN,  $NO_2$ , amino,  $C_1$  to  $C_3$  alkyl,  $C_1$  to  $C_3$  alkoxy,  $C_1$  to  $C_3$  aminoalkyl,  $COR^F$ , and  $NR^G COR^F$ ;

$R^F$  is H,  $C_1$  to  $C_3$  alkyl, substituted  $C_1$  to  $C_3$  alkyl, aryl, substituted aryl,  $C_1$  to  $C_3$  alkoxy, substituted  $C_1$  to  $C_3$  alkoxy,  $C_1$  to  $C_3$  aminoalkyl, or substituted  $C_1$  to  $C_3$  aminoalkyl;

$R^G$  is H,  $C_1$  to  $C_3$  alkyl, or substituted  $C_1$  to  $C_3$  alkyl;

$R^6$  is H or  $C_1$  to  $C_3$  alkyl;

wherein when  $R^5$  is a five-membered ring having in its backbone a

$NR^6$

heteroatom, and when  $R^5$  is attached at the two position of said ring, there is no CN substituent in the five position on said ring;

47. The method according to Claim 46, wherein said compound is selected from the group consisting of 6-(3-Chlorophenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 6-(3-Methoxy-phenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]-oxazin-2-one; 6-(2-Chloro-phenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 6-(4-Chloro-phenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]-oxazin-2-one; 6-(3-Chloro-phenyl)-4-methyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 6-(3-Chloro-phenyl)-4-ethyl-1,4-dihydro-

benzo[d][1,3]oxazin-2-one; 6-(3-Chloro-phenyl)-4-phenyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-benzonitrile; 4,4-Dimethyl-6-(3-nitrophenyl)-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 6-(3-Bromo-5-fluorophenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-fluorobenzonitrile; 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-nicotinonitrile; 4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-2-carbonitrile; 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-2-carbonitrile; 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-4-methyl-thiophene-2-carbonitrile; 4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-furan-2-carbonitrile; 4,4-Diethyl-6-(3-nitrophenyl)-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 6-(3-Chlorophenyl)-4,4-diethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 6-(3-Chlorophenyl)-spiro[4H-3,1-benzoxazine-4,1'-cyclohexane]-2-(1H)-one; 6-(3-Chlorophenyl)-spiro-[4H-3,1-benzoxazine-4,1'-cyclopentane]-2(1H)-one; 6-(3-Nitrophenyl)-spiro[4H-3,1-benzoxazine-4,1'-cyclohexan]-2(1H)-one; 4-Allyl-6-(3-chlorophenyl)-4-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Chlorophenyl)-4-methyl-4-propyn-1-yl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Chlorophenyl)-4-ethynyl-4-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Chlorophenyl)-4-methyl-4-phenyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 4-Benzyl-6-(3-chlorophenyl)-4-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Chloro-phenyl)-4-cyclopropyl-4-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Chloro-phenyl)-4-cyclopropyl-4-propyn-1-yl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Chloro-phenyl)-4,4-dicyclopropyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Chloro-phenyl)-4,4-dipropyn-1-yl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 6-(3-Bromo-5-fluorophenyl)-1,4,4-trimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 6-(3-Methoxyphenyl)-4-methyl-4-trifluoromethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Acetyl-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one; 6-(3-Benzoyl-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one; 4-(4,4-Dicyclopropyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-2-

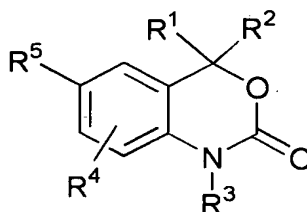
carbonitrile; 6-(3-Bromo-5-fluoro-phenyl)-4,4-dicyclopropyl-1,4-dihydrobenzo-[d][1,3]oxazin-2-one; 3-(4,4-Dicyclopropyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-fluoro-benzonitrile; 6-(3-Bromo-5-methyl-phenyl)-4,4-dimethyl-1,4-dihydrobenzo-[d][1,3]oxazin-2-one; 6-(3-Bromo-5-trifluoromethoxy-phenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]-oxazin-2-one; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-methyl-benzonitrile; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-trifluoromethoxy-benzonitrile; 6-(3,5-difluoro-phenyl)-4,4-dimethyl-1,4-dihydrobenzo-[d][1,3]oxazin-2-one; 6-(3,5-dichloro-phenyl)-4,4-dimethyl-1,4-dihydrobenzo-[d][1,3]oxazin-2-one; 6-(3,5-Bis-trifluoromethyl-phenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-methoxy-benzonitrile; 6-(3-Fluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one; 6-(3-Chloro-4-fluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 3-(1-Diethoxymethyl-4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-fluoro-benzonitrile; 3-Fluoro-5-(1-methoxymethyl-4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-benzonitrile; Phosphoric acid 6-(3-cyano-5-fluoro-phenyl)-4,4-dimethyl-4H-benzo[d][1,3]oxazin-2-yl ester diethyl ether; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-4-fluoro-benzonitrile; 6-(3-Chloro-4-fluoro-phenyl)-8-fluoro-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]-oxazin-2-one; 6-(3-Bromo-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one; 6-(3-Ethynyl-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one; 3-[3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-phenyl]-propynenitrile; 6-(3-Fluoro-5-nitro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Chloro-5-fluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 3-Chloro-5-(4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-benzonitrile; 6-(3,5-Dinitro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-isophthalonitrile; 4,4-Dimethyl-6-(3-thiazol-2-yl-phenyl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Fluoro-5-methoxy-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Fluoro-5-trifluoromethyl-phenyl)-4,4-



dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(5-Bromo-pyridin-3-yl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(5-Bromo-1-oxy-pyridin-3-yl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Cyano-5-fluoro-phenyl)-4,4-dimethyl-2-oxo-4H-benzo[d][1,3]oxazine-1-carboxylic acid tert-butyl ester; 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-2-fluorobenzonitrile; 4-(8-Fluoro-4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-2-carbonitrile; 3-Fluoro-5-(8-fluoro-4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-benzonitrile; 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-3-carbonitrile; 2-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-3-carbonitrile; 6-(1,2,4-thiadiazol-3-yl-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Fluoro-5-thiophen-3-yl-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 4,4-Dimethyl-6-(5-nitro-1H-pyrrol-2-yl)-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 4,4-Dimethyl-6-(1H-pyrrol-2-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 4,4-Dimethyl-6-(1-methyl-1H-pyrrol-2-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 4,4-Dimethyl-6-(1-methyl-5-nitro-1H-pyrrol-2-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 3-(1,2-Dihydro-2-oxospiro[4H-3,1-benzoxazine-4,1-cyclohexan]-6-yl)-benzonitrile; 3-(1,2-Dihydro-2-oxospiro[4H-3,1-benzoxazine-4,1-cyclohexan]-6-yl)-5-fluorobenzonitrile; 4-(1,2-Dihydro-2-oxospiro[4H-3,1-benzoxazine-4,1-cyclohexan]-6-yl)-2-thiophenecarbonitrile; 5-(1,2-Dihydro-2-oxospiro[4H-3,1-benzoxazine-4,1-cyclohexan]-6-yl)-2-thiophenecarbonitrile; 5-(1,2-Dihydro-2-oxospiro[4H-3,1-benzoxazine-4,1-cyclohexan]-6-yl)-4-methyl-2-thiophenecarbonitrile; 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-4-ethyl-thiophene-2-carbonitrile; 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-4-n-propyl-thiophene-2-carbonitrile; 6-(4-Cyano-3-fluoro-phenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 6-(4-Fluoro-phenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 6-(3,4-Difluoro-phenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 6-(2-Fluoro-phenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)phenylacetonitrile; 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-

benzo[d][1,3]oxazin-6-yl)-furan-2-carbonitrile; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-2-fluoro-benzonitrile; 6-(3-Methoxyphenyl)spiro[4H-3,1-benzoxazine-4,1-cyclobutan]-2(1H)-one; 8-Bromo-6-(3-chloro-4-fluorophenyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazin-2-one; 3-(8-Bromo-4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile; 3-(8-Bromo-4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile; 5-(8-Bromo-4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-2-fluorobenzonitrile; 6-(3-Bromophenyl)-1,4,4-trimethyl-1,4-dihydro-2H-3,1-benzoxazin-2-one; 6-(3-Fluorophenyl)-4-methyl-1,4-dihydro-2H-3,1-benzoxazin-2-one; 3-(4,4-Dimethyl-8-methoxy-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile; 3-(4,4-Dimethyl-8-hydroxy-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile; 6-(2,3-Difluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 3-(1-Ethyl-4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-fluoro-benzonitrile; [6-(4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)pyridin-2-yl]acetonitrile; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)-5-fluoro-phenylacetonitrile; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)-4-fluoro-phenylacetonitrile; 4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-2-fluorophenylacetonitrile; 2-(4,4-Dimethyl 2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)phenylacetonitrile; 6-(3-Fluoro-4-methoxy-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)phenylacetonitrile; 6-(6-Amino-pyridin-3-yl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazine-2-one; 6-(5-Diethoxymethyl-furan-3-yl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; and 4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-furan-2-carbaldehyde; or a pharmaceutically acceptable salt thereof.

48. A method of hormone replacement therapy, the method comprising administering to a mammal in need thereof a compound of the formula (I):



I

wherein:

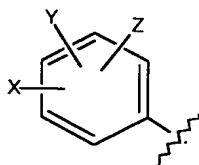
$R^1$  and  $R^2$  are independent substituents selected from the group consisting of H and  $C_1$  to  $C_6$  alkyl;

$R^3$  is H;

$R^4$  is H;

$R^5$  is selected from the group consisting of (i) and (ii):

(i) a substituted benzene ring having the substituents X, Y and Z as shown below:



wherein:

X is selected from the group consisting of halogen, CN,  $C_1$  to  $C_3$  alkyl, and substituted  $C_1$  to  $C_3$  alkyl;

Y and Z are independent substituents selected from the group consisting of H, halogen, CN,  $NO_2$ , and  $C_1$  to  $C_3$  alkyl; and

(ii) a five or six membered ring having in its backbone 1, 2, or 3 heteroatoms selected from the group consisting of O, S, SO,  $SO_2$  and  $NR^6$  and containing one or two independent substituents selected from the group consisting of H, halogen, and CN;

wherein when  $R^5$  is a five-membered ring having in its backbone a  $NR^6$

heteroatom, and when R<sup>5</sup> is attached at the two position of said ring, there is no CN substituent in the five position on said ring;  
or pharmaceutically acceptable salt thereof.

49. A compound selected from the group consisting of 6-(3-Methoxyphenyl)spiro[4H-3,1-benzoxazine-4,1-cyclobutan]-2(1H)-one; 8-Bromo-6-(3-chloro-4-fluorophenyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazin-2-one; 3-(8-Bromo-4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile; 3-(8-Bromo-4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile; 5-(8-Bromo-4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-2-fluorobenzonitrile; 6-(3-Bromophenyl)-1,4,4-trimethyl-1,4-dihydro-2H-3,1-benzoxazin-2-one; 6-(3-Fluorophenyl)-4-methyl-1,4-dihydro-2H-3,1-benzoxazin-2-one; 3-(4,4-Dimethyl-8-methoxy-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile; 3-(4,4-Dimethyl-8-hydroxy-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile; 6-(2,3-Difluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 3-(1-Ethyl-4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-fluoro-benzonitrile; [6-(4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)pyridin-2-yl]acetonitrile; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)-5-fluoro-phenylacetonitrile; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)-4-fluoro-phenylacetonitrile; 4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-2-fluorophenylacetonitrile; 2-(4,4-Dimethyl 2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)phenylacetonitrile; 6-(3-Fluoro-4-methoxy-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)phenylacetonitrile; 6-(6-Amino-pyridin-3-yl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazine-2-one; 6-(5-Diethoxymethyl-furan-3-yl) -4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-furan-2-carbaldehyde; N-[4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-2-fluoro-phenyl]-acetamide; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl) benzenesulfonamide; 5-

(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)-thiophene-2-sulfonamide; and 4-(1,4-Dihydro-4,4-dimethyl-2-oxo-2H-3,1-benzoxazin-6-yl)-2-furancarboxaldehyde oxime;  
or a pharmaceutically acceptable salt thereof.